FACTOR Xa INHIBITORS

ABSTRACT OF THE DISCLOSURE

The invention provides compounds which specifically inhibit factor Xa activity. The compounds consist of the structure X_1-YIR-X_2, wherein X_1 is H, acyl, alkyl, acylalkyl, arylalkyl or one or more amino acids, and X_2 is a modified C-terminal group, one or more carboxy-protecting groups or one or more amino acids or other substituent, and Y, I and R are tyrosine, isoleucine and arginine, respectively, or peptidomimetic or organic structures that possess the same functional activity as Y, I and R, respectively. In addition, the present invention provides a compound having the structure A_1-A_2-$(A_3)_m$-B, where m is 0 or 1. A compound of the invention can be linear or cyclic and can be about 2 and 43 residues in length. A compound of the invention is characterized, in part, in that it exhibits a specific inhibition of factor Xa activity with a K_i of $\leq$ 100 µM, preferably $\leq$ 2 nM, and does not substantially inhibit the activity of other proteases involved in the coagulation cascade. The invention further provides methods of specifically inhibiting the activity of factor Xa and of inhibiting blood clotting *in vitro* and in an individual and methods of detecting factor Xa levels or activity.